

10/698,664

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STN - Structure Search  
2/26/04

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2003:757709 CAPLUS  
DOCUMENT NUMBER: 139:281336  
TITLE: Process for preparing **crystalline**  
**Form I** of cabergoline  
INVENTOR(S): Sheikh, Ahmad Y.; Tomasi, Attilio  
PATENT ASSIGNEE(S): Pharmacia Corporation, USA; Pharmacia Italia S.P.A.  
SOURCE: PCT Int. Appl., 23 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078433	A1	20030925	WO 2003-EP2628	20030310
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2002-364567P P 20020315  
US 2002-410163P P 20020912

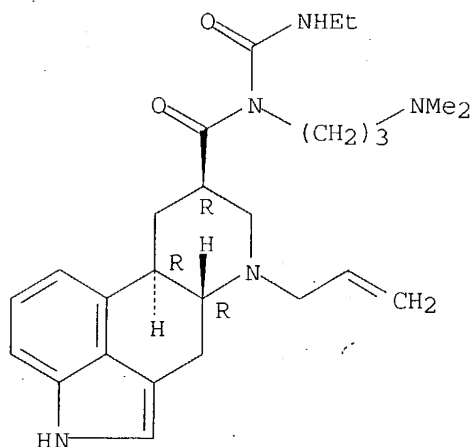
AB A process for producing **crystalline Form I** of cabergoline comprises the preparation of Form V using heptane as precipitation solvent, and its exclusive conversion into **crystalline Form I** of cabergoline. The present crystallization process from toluene-heptane solvent system for Form V involves 'reverse addition' of toluene-cabergoline concentrate to cold heptane.

IT **81409-90-7**, Cabergoline  
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(process for preparation of **crystalline Form I** of cabergoline using toluene-heptane solvent system)

RN **81409-90-7** CAPLUS

CN Ergoline-8-carboxamide, N-[3-(dimethylamino)propyl]-N-[(ethylamino)carbonyl]-6-(2-propenyl)-, (8 $\beta$ )-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2003:757674 CAPLUS  
 DOCUMENT NUMBER: 139:265794  
 TITLE: Process for preparing the **crystalline form I** of cabergoline  
 INVENTOR(S): Sheikh, Ahmad Y.  
 PATENT ASSIGNEE(S): Pharmacia Corporation, USA  
 SOURCE: PCT Int. Appl., 17 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078392	A2	20030925	WO 2003-US7138	20030310
WO 2003078392	A3	20031211		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-364567P P 20020315  
 US 2002-410253P P 20020912

AB A process for producing **crystalline form I** of cabergoline comprises crystallization of the desired form from a toluene/heptane or toluene/hexane mixture starting from raw cabergoline, followed by recovery and removal of the solvent from the resulting toluene solvate Form X so as to convert it into form I. The solvate form X of cabergoline and its preparation are also provided.

IT **81409-90-7**, Cabergoline

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); PROC (Process)

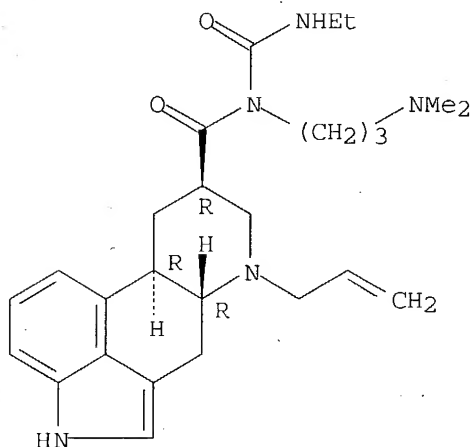
10/698,664

(process for preparing the **crystalline form I** of cabergoline)

RN 81409-90-7 CAPLUS

CN Ergoline-8-carboxamide, N-[3-(dimethylamino)propyl]-N-[(ethylamino)carbonyl]-6-(2-propenyl)-, (8 $\beta$ )-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:730740 CAPLUS

DOCUMENT NUMBER: 135:262285

TITLE: **Crystalline form II** of cabergoline

INVENTOR(S): Tomasi, Attilio; Magenes, Stefania; Ramella, Giuliano; Ungari, Mario; Pandolfi, Marco

PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072747	A1	20011004	WO 2001-EP3098	20010319
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001042482	A5	20011008	AU 2001-42482	20010319
BR 2001009508	A	20021217	BR 2001-9508	20010319
EP 1280803	A1	20030205	EP 2001-915373	20010319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003528874	T2	20030930	JP 2001-570657	20010319
NO 2002004527	A	20020920	NO 2002-4527	20020920
US 2003187013	A1	20031002	US 2003-239562	20030116
US 6673806	B2	20040106		

10/698,664

PRIORITY APPLN. INFO.:

GB 2000-7307 A 20000324  
WO 2001-EP3098 W 20010319

AB The **crystalline form II** of cabergoline, a pharmaceutical composition containing the form and a process for its preparation are disclosed. The process comprises crystallization from a solution of cabergoline in an organic solvent

at low temps. or submitting to a slurry procedure a mixture of cabergoline forms I and II in a solvent at a temperature below 30°. Thus, cabergoline was dissolved in di-Et ether, carbon and sodium sulfate and the mixture was filtered. The solution was concentrated to 80 mL and the solution was

cooled to -5°. The suspension was filtered under vacuum and the crystals were then dried.

IT **81409-90-7**, Cabergoline

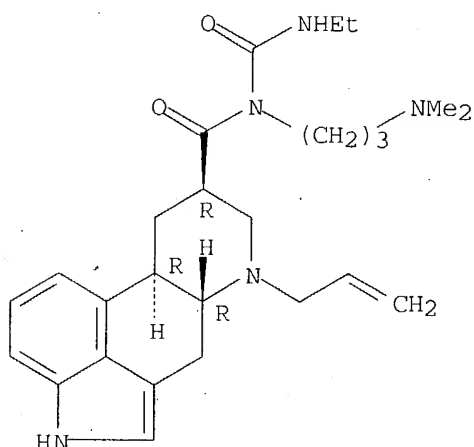
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(**crystalline form II** of cabergoline)

RN 81409-90-7 CAPLUS

CN Ergoline-8-carboxamide, N-[3-(dimethylamino)propyl]-N-[(ethylamino)carbonyl]-6-(2-propenyl)-, (8 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:730739 CAPLUS

DOCUMENT NUMBER: 135:262284

TITLE: **Crystalline form VII** of cabergoline

INVENTOR(S): Candiani, Ilaria; Budelli, Raffaella; Pandolfi, Marco; Ungari, Mario

PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy

SOURCE: PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2001072746 A1 20011004 WO 2001-EP2969 20010315  
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 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 AU 2001052211 A5 20011008 AU 2001-52211 20010315  
 EP 1265894 A1 20021218 EP 2001-925471 20010315  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 JP 2003528873 T2 20030930 JP 2001-570656 20010315  
 US 2003144516 A1 20030731 US 2002-221165 20020918  
 US 6680327 B2 20040120

PRIORITY APPLN. INFO.:

GB 2000-7309 A 20000324  
 WO 2001-EP2969 W 20010315

AB The **crystalline form VII** of cabergoline, a pharmaceutical composition containing the form and a process for its preparation are disclosed. The

process may comprise a slurry procedure by using the form I or mixture of forms I and VII in a solvent at a temperature above 30°. Thus, cabergoline was dissolved in 1,4-dioxane at 40°, the final solution was cooled to -5° and the solid was filtered and dried at 30-65°. The crystals of the form VII were obtained.

IT **81409-90-7**, Cabergoline

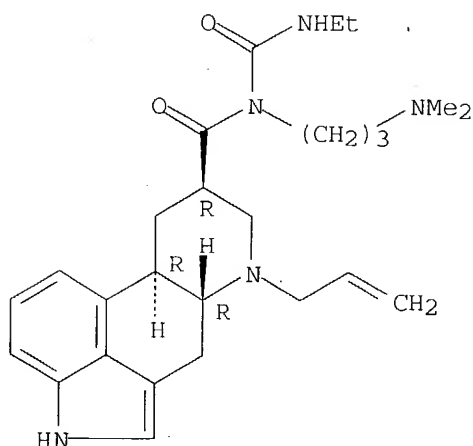
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(**crystalline form VII** of cabergoline)

RN 81409-90-7 CAPLUS

CN Ergoline-8-carboxamide, N-[3-(dimethylamino)propyl]-N-[(ethylamino)carbonyl]-6-(2-propenyl)-, (8 $\beta$ )-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:713349 CAPLUS

DOCUMENT NUMBER: 135:242388

TITLE: Process for preparing **crystalline**

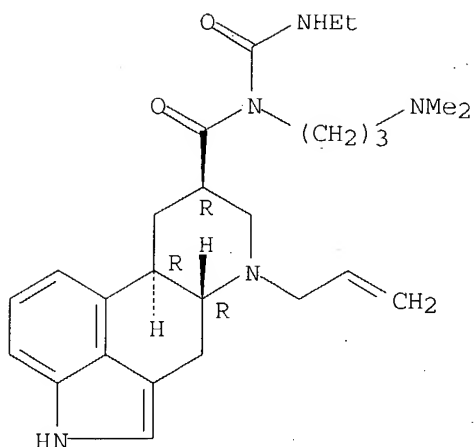
10/698,664

INVENTOR(S): **form I of cabergoline**  
Tomasì, Attilio; Magenes, Stefania; Ungari, Mario;  
Ramella, Giuliano; Pallanza, Gianfranco  
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy  
SOURCE: PCT Int. Appl., 18 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070740	A1	20010927	WO 2001-EP3099	20010319
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2001009507	A	20021210	BR 2001-9507	20010319
EP 1272489	A1	20030108	EP 2001-936098	20010319
EP 1272489	B1	20030924		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003528100	T2	20030924	JP 2001-568941	20010319
AT 250601	E	20031015	AT 2001-1936098	20010319
ZA 2002006045	A	20030729	ZA 2002-6045	20020729
NO 2002004321	A	20020910	NO 2002-4321	20020910
US 2003149067	A1	20030807	US 2003-239636	20030203
PRIORITY APPLN. INFO.: GB 2000-7308 A 20000324				
WO 2001-EP3099 W 20010319				
AB A process for producing <b>crystalline form I</b> of cabergoline, which process comprises crystallization of the desired form from a toluene/diethyl ether mixture comprising raw cabergoline, followed by recovery and drying of the resulting crystals. A new solvate, form V of cabergoline, which is a 2:1 solvate of cabergoline and toluene and is a useful as an intermediate for preparing <b>crystalline form I</b> of cabergoline, was also prepared				
IT <b>81409-90-7P</b> , Cabergoline				
RL: PUR (Purification or recovery); PREP (Preparation)				
(process for preparing crystalline of cabergoline via formation of cabergoline toluene solvate (2:1))				
RN 81409-90-7 CAPLUS				
CN Ergoline-8-carboxamide, N-[3-(dimethylamino)propyl]-N-[(ethylamino)carbonyl]-6-(2-propenyl)-, (8 $\beta$ )- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.

10/698,664



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 13:43:20 ON 26 FEB 2004)

FILE 'REGISTRY' ENTERED AT 13:43:45 ON 26 FEB 2004

E CABERGOLINE/CN

L1 1 S E3

FILE 'CAPLUS' ENTERED AT 13:44:46 ON 26 FEB 2004

L2 225 S L1

L3 11276 S CRYSTALLINE FORM?

L4 5 S L2 AND L3

=> d l1

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 81409-90-7 REGISTRY

CN Ergoline-8-carboxamide, N-[3-(dimethylamino)propyl]-N-  
[(ethylamino)carbonyl]-6-(2-propenyl)-, (8β)-(9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Indolo[4,3-fg]quinoline, ergoline-8-carboxamide deriv.

OTHER NAMES:

CN Cabaser

CN **Cabergoline**

CN Dostinex

CN Galastop

CN Sogilen

FS STEREOSEARCH

MF C26 H37 N5 O2

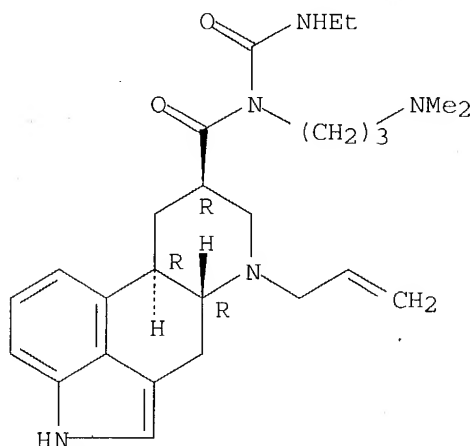
CI COM

LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN\*,  
BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB,  
CHEMCATS, CIN, CSCHEM, DDFU, DIOGENES, DRUGU, EMBASE, IMSCOSEARCH,  
IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK\*, PHAR, PROMT,  
RTECS\*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL, VETU  
(\*File contains numerically searchable property data)

10/698,664

Other Sources: WHO

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

222 REFERENCES IN FILE CA (1907 TO DATE)

3 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

225 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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PALM INTRANET

Day : Thursday  
Date: 2/26/2004  
Time: 13:53:28**Inventor Name Search Result**

Your Search was:

Last Name = TOMASI

First Name = ATTILIO

Application#	Patent#	Status	Date Filed	Title	Inventor Name
<a href="#">60410163</a>	Not Issued	020	09/12/2002	PROCESS FOR PREPARING CRYSTALLINE FORM I OF CABERGOLINE	TOMASI, ATTILIO
<a href="#">60360684</a>	Not Issued	159	03/01/2002	CRYSTALLINE POLYMORPHIC FORM OF IRINOTECAN HYDROCHLORIDE	TOMASI, ATTILIO
<a href="#">10698737</a>	Not Issued	020	10/31/2003	PROCESS FOR PREPARING CRYSTALLINE FORM I OF CABERGOLINE	TOMASI, ATTILIO
<a href="#">10698664</a>	Not Issued	030	10/31/2003	CRYSTALLINE FORM II OF CABERGOLINE	TOMASI, ATTILIO
<a href="#">10239636</a>	Not Issued	093	02/03/2003	PROCESS FOR PREPARING CRYSTALLINE FORM I OF CABERGOLINE	TOMASI, ATTILIO
<a href="#">10239562</a>	<a href="#">6673806</a>	150	01/16/2003	CRYSTALLINE FORM II CABERGOLINE	TOMASI, ATTILIO
<a href="#">07982979</a>	Not Issued	161	11/30/1992	PROCESS FOR 3-AMINO-RIFAMYCIN	TOMASI, ATTILIO

**Inventor Search Completed:** No Records to Display.

<b>Last Name</b>	<b>First Name</b>
<input type="text" value="Tomasi"/>	<input type="text" value="Attilio"/>
<input type="button" value="Search"/>	

To go back use Back button on your browser toolbar.

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